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TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * * *
NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	OCT	02	CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	3	OCT	19	BEILSTEIN updated with new compounds
NEWS	4	NOV	15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV	19	WPIX enhanced with XML display format
NEWS	6	NOV	30	ICSD reloaded with enhancements
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NEWS	8	DEC	14	BEILSTEIN pricing structure to change
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NEWS	12	DEC	17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC	17	MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS				
NEWS				STN Viewer enhanced with full-text patent content
				from USPATOLD
NEWS				
NEWS	17	JAN	16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN	28	USPATFULL, USPAT2, and USPATOLD enhanced with new
				custom IPC display formats
NEWS				MARPAT searching enhanced
NEWS	20	JAN	28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN	28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN	28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	23	FEB	0.8	STN Express, Version 8.3, now available
NEWS	24	FEB	20	PCI now available as a replacement to DPCI
NEWS	25	FEB	25	IFIREF reloaded with enhancements
NEWS	26	FEB	25	IMSPRODUCT reloaded with enhancements
NEWS	27	FEB	29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current
				U.S. National Patent Classification

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

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STRUCTURE FILE UPDATES: 17 MAR 2008 HIGHEST RN 1008496-49-8

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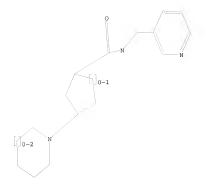
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chain nodes :
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 18 19 20 21 22 23
ring/chain nodes :
7 8 9
chain bonds :
9-10 9-11 14-18
ring/chain bonds :
1-7 7-8 8-9
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-15 12-13 13-14 14-15 18-19 18-23
19-20 20-21 21-22 22-23
exact/norm bonds :
1-7 7-8 8-9 9-10 13-14 14-18 18-19 18-23 19-20 20-21 21-22 22-23
exact bonds :
9-11 11-12 11-15 12-13 14-15
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 11 :
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### G1:C,H,O,C1,Br,F,OH,Cv,S,N

Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 23:Ato

### L1 STRUCTURE UPLOADED

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=> d 11
L1 HAS NO ANSWERS
L1 STR
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G1 C, H, O, C1, Br, F, OH, Cy, S, N

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 12:49:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5599 TO ITERATE

35.7% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*
PROJECTED ITERATIONS: 107494 TO 116466
PROJECTED ANSWERS: 1 TO 155

L2 1 SEA SSS SAM L1

=> s 11 full FULL SEARCH INITIATED 12:49:53 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 109276 TO ITERATE

100.0% PROCESSED 109276 ITERATIONS

104 ANSWERS

SEARCH TIME: 00.00.01

L3 104 SEA SSS FUL L1

=> file caplus

 COST IN U.S. DOLLARS
 SINCE FILE
 TOTAL

 ENTRY
 SESSION

 FULL ESTIMATED COST
 178.36
 178.78

FILE 'CAPLUS' ENTERED AT 12:49:57 ON 18 MAR 2008

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FILE COVERS 1907 - 18 Mar 2008 VOL 148 ISS 12 FILE LAST UPDATED: 17 Mar 2008 (20080317/ED)

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=> s 13 full L4 7 L3

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L4 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:301787 CAPLUS DOCUMENT NUMBER: 144:350698

TITLE: Preparation of benzoxazine derivatives as modulators of chemokine receptors for treatment of inflammation

and immunoregulatory diseases

INVENTOR(S): Goble, Stephen D.; Mills, Sander G.; Yang, Lihu; Pasternak, Alexander; Bonnefous, Celine; Kamenecka, Theodore M.; Vernier, Jean-Michel; Hutchinson, John

H.; Hu, Essa; Govek, Steven

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 94 pp., Cont.-in-part of Appl.

No. PCT/US04/011281. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

GI

PAT	ENT :	NO.			KIN	D	DATE			APPLICATION NO.						DATE			
WO	2006 2004 2004	0921	24		A1 2006033 A2 2004102 A3 2005041			1028			005- 004-		20050513 20040408						
	W:	AE, CN, GE, LK, NO, TJ, BW, BY,	AG, CO, GH, LR, NZ, TM, GH, KG,	CR, GM, LS, OM, TN, GM, KZ, FR,	AM, CU, HR, LT, PG, TR, KE, MD, GB,	AT, CZ, HU, LU, PH, TT, LS, RU, GR,	AU, DE, ID, LV, PL, TZ, MW, TJ, HU,	AZ, DK, IL, MA, PT, UA, MZ, TM, IE,	DM, IN, MD, RO, UG, SD, AT, IT,	DZ, IS, MG, RU, US, SL, BE, LU,	EC, JP, MK, SC, UZ, SZ, BG, MC,	EE, KE, MN, SD, VC, TZ, CH, NL,	EG, KG, MW, SE, VN, UG, CY, PL,	ES, KP, MX, SG, YU, ZM, CZ, PT,	FI, KR, MZ, SK, ZA, ZW, DE, RO,	GB, KZ, NA, SL, ZM, AM, DK, SE,	GD, LC, NI, SY, ZW AZ, EE, SI,		
PRIORITY	7 DD	TD,	TG	·	ВJ,	CF,	CG,	CI,			GN,			·		NE,			

OTHER SOURCE(S):

WO 2004-US11281 A2 20040408 MARPAT 144:350698

CF3

- Title benzoxazine derivs. I [wherein X = C, N, O, or S; Y = O, S, SO, SO2, AB or (un)substituted NH; Z = C or N; R1 = H, (un)substituted alkoxy(alkyl), alkylthio(alkyl), heterocyclyloxy(alkyl), etc.; R2 = halo, (un)substituted alkyl, alkoxy(alkyl), alkylthio(alkyl), etc.; R3 = H, (un)substituted phenyl(alkyl), cycloalkyl(alkyl), heterocyclyl(alkyl), etc.; R4 = OH, CN, alkoxyl, etc.; R5 and R6 = independently H, OH, halo, alkyl, alkoxyl, etc.; when Z = C, R7 = H, OH, halo, (un) substituted alkyl, alkoxy, etc.; when Z = N, R7 is nothing or oxide; R8 = H, alkvl, CF3, OCF3, halo, etc.; m and n = independently 0-2 wherein m + n = 0-31, or pharmaceutically acceptable salts or diastereomers thereof were prepared as modulators of CCR2 chemokine receptors. For example, II was prepared in a multi-step synthesis. The title compds. are useful as modulators of CCR-2 chemokine receptors for the prevention or treatment of inflammatory and immunoregulatory disorders and diseases, allergic diseases, atopic conditions including allergic rhinitis, dermatitis, conjunctivitis, and asthma, as well as autoimmune pathologies such as rheumatoid arthritis and atherosclerosis (no data).
- IT 881493-17-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzoxazine derivs. as modulators of chemokine receptors for treatment of inflammatory and immunoregulatory diseases)

- RN 881493-17-0 CAPLUS
- CN Benzoic acid, 3-[1-[(1R,3S)-3-(1-methylethyl)-3-[[6-(trifluoromethyl)-2Hpyrido[3,2-e]-1,3-oxazin-3(4H)-yl]carbonyl]cyclopentyl]-4-piperidinyl]-(CA INDEX NAMB)

L4 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:696675 CAPLUS

DOCUMENT NUMBER: 143:193909

TITLE: Preparation of 2,6-disubstituted piperidines as

modulators of chemokine receptors

INVENTOR(S): Yang, Lihu; Mills, Sander G.; Zhou, Changyou; Goble,

Stephen D.; Pasternak, Alexander

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

					KIND DATE						ICAT							
WO	2005	0701	33		A2 20050804 A3 20050901							20050114						
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		MR,	NE,	SN,	TD,	TG												
AU	2005	2067	91		A1		2005	0804		AU 2	005-	2067	91		2	0050	114	
CA	2553	242			A1 20050804					CA 2	005-							
EP	1732	552			A2 20061220				EP 2	005-		20050114						
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	1909	906			A		2007	0207		CN 2	005-	8000	2715		2	0050	114	
JP	2007	5187	99		T		2007	0712		JP 2	006-	5511:	25		2	0050	114	
IN	2006	DN03	835		A		2007	0427		IN 2	006-	DN38:	35		2	0060	704	
US	2007	1791	58		A1		2007	0802		US 2	006-	5867	65		2	0060	720	
RIORIT	Y APP	LN.	INFO	.:						US 2	004-	5377	32P		P 2	0040	120	
										WO 2	005-	JS77	0					
THER S	OURCE	(S):			CASI	T 14	3:19	3909	; MA	RPAT	909							

# \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [RI = H, OH, CN, etc.; R2 = H, (un)substituted alkyl or alkoxy, R3 = H, halo, OH, etc. when Y is C or R3 is oxygen or absent when Y is N; R4 = H, trifluoromethyl, trifluoromethoxy, etc.; R5 = (un)substituted alkyl, alkoxy, thioalkyl, etc.; R6 = H, alkyl, chloro, etc.; R7 = nothing when X is O, S, or SO2 or R7 = H, alkylphenyl, alkylheterocycle, etc. when X is C or N; R8 = H, OH, alkyl, etc. when X is C or R7 and R8 together form a ring selected from (un)substituted lH-indene, 2,3-dihydro-bensofuran, etc.; R9 and R10 independently = H, OH, alkyl, etc. or R7 and R9, or R8 and R10 together form (un)substituted Ph or heterocycle; R11, R13, R14 and R15 independently = H, OH, alkyl, etc.; R12 and R16 independently = OH, (un)substituted alkoxy, alkylhydroxy, etc. or R12 and R16 together form a bridge consisting of (un)substituted klyl or

alkyl-O-alkyl; R17 = H, (un)substituted Ph or alkyl or R2 and R17 together form a heterocycle;  $Q=(CH2)n;\; X=C,\; N,\; O,\; \text{etc.};\; Y=N\; \text{or}\; C;\; Z=(CH2)n;\; N=C,\; N=0$ , and the pharmaceutically acceptable salts, are prepared and disclosed as modulators of chemokine receptors. Thus, e.g., II was prepared by Grignard reaction of N-carbethoxy-4-tropione with Ph magnesium bromide followed by dehydration/hydrogenation/decarboxylation sequence and subsequent coupling with III (preparation given). The binding activity of I towards the CCR-2 receptor was evaluated and it was revealed that compds. of the invention are useful modulators of chemokine receptor activity (data given). I as modulator of chemokine receptors should prove useful in the treatment of rheumatoid arthritis. Pharmaceutical compns.

861853-56-7P 861855-43-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,6-disubstituted piperidines as modulators of chemokine receptors)

861853-56-7 CAPLUS

RN

CN

1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-methylethyl)-3-(3-phenyl-8-azabicyclo]3.2.1]oct-8-yl)cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI)(CA INDEX NAME)

RN 861855-43-8 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[([15)-1-(1-methylethyl)-3-[(3-exo)-3-(3-methyl-5-(1-methylethyl)-4H-1,2,4-triazol-4-yl)-8azabicyclo[3,2.1]oct-8-yl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:141023 CAPLUS

DOCUMENT NUMBER: 142:240424

TITLE: Preparation of (thiazolyl)cyclopentane amide

modulators of chemokine receptor activity
INVENTOR(S): Butora, Gabor; Yang, Lihu; Goble, Stephen D.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

							DATE		APPLICATION NO.								ATE	
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WO	2005	0145	37		A3		2005	0512										
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		IE,	SI,	LT,	LV,	FI,	RO,	CY,	TR,	BG	, C2	Z, EE	Ξ,	HU,	PL,	SK		
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IN	2006	DN00	519		A		2007	0810		IN	2006	-DNS	519			2	0060	131
US	2006	2057	83		A1		2006	0914		US	2006	5-56	751	6		2	0060	207
PRIORIT	Y APP	LN.	INFO	. :													0030	
									WO	2004	1-US2	254	67		W 2	0040	806	
OTHER S	OURCE		CASI	REAC	T 14	2:24	0424	: M	IARPA	AT 14	12:	240	424					

II

GI

- AB Title compds. I [wherein Z = independently C or N; Rl = (alkoxy)alkyl, alkylthioalkyl, hydroxy, etc.; R2-R4, R6 = independently H, OH, alkyl, halo, etc.; R5 = (carbonyl)alkyl, C75, halo, etc.; R7, R9 = independently H, Ph, alkyl, etc.; R8 = H, Ph, alkyl, etc.; R10 = (un)substituted tetrahydropyranyl-4-ylamino, azacyclohept-1-yl, azacyclooct-1-yl; and pharmaceutically acceptable salts or solvates thereof and individual diastereomers thereof) are preped as chemokine receptor modulators (no data). For example, II was given in a multi-step synthesis starting from 2,6-dichloro-4-trifluoromethylpyridine. The invention is directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns as chemokine receptor modulators in the prevention or treatment of the diseases in which chemokine receptors are involved, such as inflammatory and immunoregulatory disorders, and rheumatoid arthritis (no data).
- IT 844639-97-0P 844639-99-2P
  RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
  (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
  (Uses)

(preparation of N-pyridinylmethyl (thiazolyl)cyclopentane amide modulators
 of chemokine receptor activity)

RN 844639-97-0 CAPLUS

CN Carbamic acid, [4-[3-(hexahydro-1H-azepin-1-yl)-1-[[[[5-(trifluoromethyl)-3-pyridinyl]methyl]amino]carbonyl]cyclopentyl]-2-thiazolyl]-, 1.1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 844639-99-2 CAPLUS

CN Cyclopentanecarboxamide, 1-(2-amino-4-thiazoly1)-3-(hexahydro-1H-azepin-1-y1)-N-[[5-(trifluoromethy1)-3-pyridiny1]methy1]- (CA INDEX NAME)

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L4 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN
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ACCESSION NUMBER: 2004:1124588 CAPLUS

DOCUMENT NUMBER: 142:69197

TITLE: CCR-2 antagonists for treatment of neuropathic pain

INVENTOR(S): Abbadie, Catherine; Lindia, Jill Ann; Wang, Hao PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 304 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA:	TENT	NO.			KIND DATE					APPL	ICAT		DATE				
	2004				A2 20041223				WO 2	004-							
WO	2004 W:				A3 AM,		2005 AU,		BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
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							LV,										
							PL, TZ,										
	RW:						MW,										
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
			TD,		BF,	BJ,	CF,	CG,	C1,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
	US 2006205761				A1		2006	0914	US 2005-559701								
PRIORIT	RIORITY APPLN. INFO.:										003- 003-					0030 0031	
										WO 2	004-	US17	499	1	W 2	0040	602

# OTHER SOURCE(S):

### MARPAT 142:69197

AB The invention is directed to methods of treating neuropathic pain and other neuropathic diseases and conditions with CCR-2 antagonists and pharmaceutical composition containing CCR-2 antagonists.

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IT 766513-14-8P 766513-16-0P 766513-18-2P 766513-20-6P 766513-22-6P 766513-20-6P 767332-04-7P 767332-05-8P 767332-06-9P 767332-06-9P 767332-09-P 767332-09-2P 787638-91-9P 787638-92-0P 787638-93-1P 787638-94-2P 787638-95-3P 787638-96-4P 787638-95-2P 787638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-95-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 87638-97 877 878 878 878 878 878 878 878
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787639-28-5P 787639-87-6P 787639-88-7P 787639-89-8P 787639-90-1P 787639-91-2P 787639-92-3P 787639-93-4P 787639-94-5P 787639-95-6P 787639-95-6P 787639-95-7P 787639-97-8P

787639-98-9P 791067-33-9P 791067-36-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(CCR2 antagonists for treatment of neuropathic pain)

RN 766513-14-8 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

- RN 766513-16-0 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-methylethyl)-3-(4-phenyl-1piperidinyl)cyclobutyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

- RN 766513-18-2 CAPLUS
- CN Cyclobutanecarboxamide, 1-(1-hydroxyethyl)-3-(4-phenyl-1-piperidinyl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

- RN 766513-20-6 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxyethyl)-3-(4-phenyl-1piperidinyl)cyclobutyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

- RN 766513-22-8 CAPLUS
- CN Cyclobutanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-

piperidinyl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

- RN 766513-24-0 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)cyclobutyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

- RN 767332-04-7 CAPLUS
- CN Cyclobutanecarboxamide, 1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[(5-(trifluoromethyl)-3-pyridinyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 767332-05-8 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'-yl]cyclobutyl]carbonyl]-3-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

- RN 767332-06-9 CAPLUS
- CN Cyclobutanecarboxamide, 1-(1-hydroxyethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 767332-07-0 CAPLUS
- No. 16-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxyethyl)-3-[(1R,3'R)-3'-methylspiro(1H-indene-1,4'-piperidin]-1'-yl]cyclobutyl]carbonyl]-3-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 767332-08-1 CAPLUS

NO Cyclobutanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-[(1R,3'R)-3'-methylspiro(1H-indene-1,4'-piperidin]-1'-yl]-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 767332-09-2 CAPLUS

Relative stereochemistry.

- RN 787638-91-9 CAPLUS
- CN 4-Piperidinecarboxamide, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-N-methyl-(CA INDEX NAME)

- RN 787638-92-0 CAPLUS
- CN 4-Piperidinecarboxylic acid, 1-[(38)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester (CA INDEX NAME)

- RN 787638-93-1 CAPLUS
- CN 3-Piperidinecarboxylic acid, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester (CA INDEX NAME)

- RN 787638-94-2 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-(4-methyl-1-piperidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

- RN 787638-95-3 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[((15)-1-(1-methylethyl)-3-(3-methyl-1-piperidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9C1) (CA INDEX NAME)

- RN 787638-96-4 CAPLUS

- RN 787638-97-5 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-3-(4-hydroxy-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

- RN 787638-98-6 CAPLUS
- CN 1,6-Maphthyridine, 6-[([(18)-3-(1R,48)-2-azabicyclo[2.2.1]hept-2-yl-1-(1methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

- RN 787639-19-4 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(1S,4S)-2-oxa-5-azabicyclo[2.2.1]hept-5-ylcyclopentyl]carbonyl]-3-(trifluoromethyl)- (9C1) (CA INDEX NAME)

- RN 787639-25-2 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[3-(4-pyridinyl)-1-pyrrolidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI)
  (CA INDEX NAME)

RN 787639-26-3 CAPLUS

CN 1,6-Maphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[3-(3-pyridinyl)-1-pyrrolidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-27-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[3-(2pyridinyl)-1-pyrrolidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9C1) (CA INDEX NAME)

RN 787639-28-5 CAPLUS

CN 3-Pyrrolidineacetic acid, 1-[(38)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

# Absolute stereochemistry.

RN 787639-87-6 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(5-pyrimidinyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 787639-88-7 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(1H-tetrazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-89-8 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(1Hpyrazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 787639-90-1 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-[4-(1H-1,2,3-triazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifloromethyl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-91-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-[4-(1H1,2,4-triazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3(trifloromethyl)- (9C1) (CA INDEX NAME)

RN 787639-92-3 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(3Hyrazol-3-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-93-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[f(18)-1-(1-methylethyl)-3-{4-(5methyl-2H-tetrazol-2-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9C1) (CA INDEX NAME)

- RN 787639-94-5 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-[4-(4-thiazolyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI)
  (CA INDEX NAME)

- RN 787639-95-6 CAPLUS
- CN Benzoic acid, 3-[1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yllcarbonyl]-3-(1-methylethyl)cyclopentyl]-4-piperidinyl]-, ethyl ester (CA INDEX NAME)

- RN 787639-96-7 CAPLUS
- CN Benzoic acid, 3-[1-[(3S)-3-[(7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-4-piperidinyl]- (CA INDEX NAME)

- RN 787639-97-8 CAPLUS
- CN 1,6-Naphthyridine, 6-[[(1S)-3-[4-(2,5-dihydro-5-oxo-1,2,4-thiadiazol-3-yl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)- (9C1) (CA INDEX NAME)

- RN 787639-98-9 CAPLUS
- No. 16-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[3-(4-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (SCI) (CA INDEX NAME)

- RN 791067-33-9 CAPLUS
- CN 1,6-Naphthyridine, 6-[{(1S)-3-(3,5-dimethyl-1-piperidinyl)-1-(1methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9C1) (CA INDEX NAME)

791067-36-2 CAPLUS CN

/9100:730-2 CAPUS 1,6-Maphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[(4R,7S)-octahydro-4-methyl-4,7-epoxy-2H-isoindol-2-yllcyclopentyl]carbonyl|-3-(trif]uoromethyl)- (9C1) (CA INDEX NAME)

L4 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:927165 CAPLUS 141:410822 DOCUMENT NUMBER:

TITLE: Preparation of heterocyclic cyclopentyl

tetrahydroisoquinoline and tetrahydropyridopyridine

modulators of chemokine receptor activity INVENTOR(S): Butora, Gabor; Goble, Stephen D.; Pasternak,

Alexander; Yang, Lihu; Zhou, Changyou; Moyes,

Christopher R.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Sharp & Dohme Limited

SOURCE: PCT Int. Appl., 187 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PAT	ENT :				KIND DATE						ICAT						
	2004	0943	71		A2 20041104 A3 20050324							20040414					
	W:	CN, GE, LK, NO, TJ,	CO, GH, LR, NZ, TM,	CR, GM, LS, OM, TN,	CU, HR, LT, PG, TR,	CZ, HU, LU, PH, TT,	DE, ID, LV, PL, TZ,	AZ, DK, IL, MA, PT, UA,	DM, IN, MD, RO, UG,	DZ, IS, MG, RU, US,	EC, JP, MK, SC, UZ,	EE, KE, MN, SD, VC,	EG, KG, MW, SE, VN,	ES, KP, MX, SG, YU,	FI, KR, MZ, SK, ZA,	GB, KZ, NA, SL, ZM,	GD, LC, NI, SY, ZW
	RW:	BY, ES,	KG, FI, TR,	KZ, FR,	MD, GB,	RU, GR,	TJ, HU,	MZ, TM, IE, CI,	AT, IT,	BE, LU,	BG, MC,	CH,	CY, PL,	CZ, PT,	DE, RO,	DK, SE,	EE,
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IN 2005DN04610 ORITY APPLN. INFO.:										US 2	003-	4636	73P 463	1	P 2	0030 0040	417

OTHER SOURCE(S): MARPAT 141:410822

GI

AB Title compde. I [X = C. N. O, S. SO2; Y = N, C; Rl = H, alkyl, etc.; R2 = H, OB, halo, alkyl, amino, etc.; R3 = 0 or absent when Y = N and when Y = C, H, OH, halo, etc.; R4 = H, alkyl, CF3, etc.; R5 = alkyl, alkoxy, etc.; R6 = H, alkyl, CF3, etc.; R7 = H, (alkyl)phenyl, (alkyl)heterocycle, etc.; R8 = H, nothing when X = O, S, SO2, etc.; R9 = 10 = H, OH, alkyl, etc.; n = 0-2] are prepared For instance, II is prepared in several steps from 7-trifluoromethyl-1,z,3,4-tetrahydroisoquinoline (preparation given), Me 3-oxocyclopentanecarboxylate and 4-carboethoxypiperidine. I are modulators of the chemokine receptor CCR-2.

TT

IT 787638-99-7P 787639-00-3P RL: PAC (Pharmacological activity); PUR (Purification or recovery); RCT (Reactant); SPM (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of heterocyclic cyclopentyl tetrahydroisoquinoline and tetrahydropyridopyridine modulators of chemokine receptor activity) 787638-99-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(1S,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN

### ●x HCl

RN 787639-00-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1methylethyl)cyclopentyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

### Absolute stereochemistry.

### ●x HCl

IT 787639-04-7P 787639-05-8P 787639-06-9P 787639-07-0P 787639-08-1P 787639-09-2P 787639-10-9P 787639-11-6P 787639-12-7P 787639-13-8P 787639-14-9P 787639-15-0P 787639-22-9P 787639-20-0P 787639-23-0P 787639-0P 787639-0P

787639-83-2P 787639-85-4P 787639-86-5P 787640-61-3P 787640-62-4P 791067-35-1P RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclic cyclopentyl tetrahydroisoquinoline and

(preparation of heterocyclic cyclopenty) tetrahydrolsoquinoline and tetrahydropyridopyridine modulators of chemokine receptor activity) RN 787639-04-7 CAPLUS

NN /8/639-04-7 CAPLOS
NN 4-Pigeridinecarboxamide, 1-[(1S,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-N-methylhydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

RN 787639-05-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(1R,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-[(1-methylethyl)cyclopentyl]-N-methyl-hydrochloride (9CI) (CA INDEX NAME)

●x HCl

RN 787639-06-9 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[(15,35)-3-[(7,8-dihydro-3-(trifluoromethy)-1,6-naphthyridin-6(5H)-yllcarbonyl)-3-(1-methylethyl)cyclopentyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

RN 787639-07-0 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[(1R,35)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yllacrboxyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester, hydrochloride (9CI) (CA INDEX NAME)

RN 787639-08-1 CAPLUS

CN 1,6-Maphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3S)-1-(1-methylethyl)-3-[(3R)-3-methyl-1-piperidinyl](pclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## ●x HCl

RN 787639-09-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18,38)-1-(1-methylethyl)-3[(38)-3-methyl-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-,
hydrochloride (9CI) (CA INDEX NAME)

RN 787639-10-5 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3R)-1-(1-methylethyl)-3-[(3S)-3-methyl-1-piperidinyl](pclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## ●x HCl

RN 787639-11-6 CAPLUS

RN 787639-12-7 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S,3R)-3-[(3S,5R)-3,5-dimethyl-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-,hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## ●x HCl

RN 787639-13-8 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S,3S)-3-[(3S,5R)-3,5-dimethyl-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, hydrochloride (9C1) (CA INDEX NAME)

- RN 787639-14-9 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3S)-3-(4-hydroxy-1piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)-,
  hydrochloride (9G1) (CA INDEX NAME)

Absolute stereochemistry.

#### x HCl

- RN 787639-15-0 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3R)-3-(4-hydroxy-1piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 787639-22-9 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3S)-1-(1-methylethyl)-3-(1S,4S)-2-oxa-5-azabicyclo[2.2.1]hept-5-ylcyclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### ●x HCl

RN 787639-23-0 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3R)-1-(1-methylethyl)-3(1S,4S)-2-oxa-5-azabicyclo[2.2.1]hept-5-ylcyclopentyl]carbonyl]-3(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

RN 787639-82-1 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S,3S)-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1methylethyl)cyclopentyl)carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-83-2 CAPLUS

CN 1,6-Naphthyridine, 6-[[(1S,3R)-3-[4-(4-fluoropheny1)-1-piperidiny1]-1-(1-methylethyl)cyclopentyl]carbony1]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9C1) (CA INDEX NAME)

- RN 787639-85-4 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3R)-1-(1-methylethyl)-3-[4-(5-pyrimidinyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9C1) (CA INDEX NAME)

- RN 787639-86-5 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3S)-1-(1-methylethyl)-3-[4-(5-pyrimidinyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(SCI) (CA INDEX NAME)

RN 787640-61-3 CAPLUS CN 1,6-Naphthyridine,

1,6-Naphthyridine, 6-[[(1S,3R)-3-[(3R,5R)-3,5-dimethyl-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-,hydrochloride (9C1) (CA INDEX NAME)

Absolute stereochemistry.

## ●x HCl

RN 787640-62-4 CAPLUS

CN 1,6-Naphthyridine, 6-[[(18,38)-3-[(3R,5R)-3,5-dimethyl-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-,hydrochloride (9CI) (CA INDEX NAME)

RN 791067-35-1 CAPLUS

CN 1,6-Naphthyridine, 6-[(1S)-3-(3,5-dimethyl-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

### ●x HCl

T 787638-91-9P 787638-93-1P 787638-95-3P 787639-19-4P 787639-84-3P 791067-33-9P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of heterocyclic cyclopentyl tetrahydroisoquinoline and

tetrahydropyridopyridine modulators of chemokine receptor activity)

- RN 787638-91-9 CAPLUS
- CN 4-Piperidinecarboxamide, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethy1)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-N-methyl-(CA INDEX NAME)

#### Absolute stereochemistry.

- RN 787638-93-1 CAPLUS
- CN 3-Piperidinecarboxylic acid, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(SH-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester (CA INDEX NAME)

#### Absolute stereochemistry.

- RN 787638-95-3 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(3methyl-1-piperidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

- RN 787639-19-4 CAPLUS
- CN 1,6-Waphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(1S,4S)-2-oxa-5-azabicyclo[2.2.1]hept-5-ylcyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

- RN 787639-84-3 CAPLUS
- CN 1,6-Naphthyridine, 6-[((1S)-3-[4-(4-fluorophenyl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

- RN 791067-33-9 CAPLUS
- CN 1,6-Naphthyridine, 6-[[(18)-3-(3,5-dimethyl-1-piperidinyl)-1-(1methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

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II 787638-88-4P 787638-91-2P 787638-90-8P 787638-92-0P 787638-92-0P 787638-91-2P 787638-96-4P 787638-97-5P 787638-91-2P 787639-92-5P 787639-92-5P 787639-92-5P 787639-92-5P 787639-92-5P 787639-92-5P 787639-92-5P 787639-92-5P 787639-92-5P 787639-93-4P 787639-93-98-79 787639-93-1P 787639-93-91-2P 787639-95-6P 787639-95
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic cyclopentyl tetrahydroisoquinoline and tetrahydropyridopyridine modulators of chemokine receptor activity) 787638-88-4 CAPLUS

CN 1,6-Naphthyridine, 6-[[3-(4-benzoyl-1-piperidinyl)-1-(1methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9C1) (CA INDEX NAME)

RN 787638-89-5 CAPLUS

RN

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-methylethyl)-3-(1-oxo-2,8-diazaspiro[4.5]dec-8-yl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787638-90-8 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(1-piperidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (GA INDEX NAME)

Absolute stereochemistry.

RN 787638-92-0 CAPLUS

CN

4-Piperidinecarboxylic acid, 1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, ethyl ester (CA INDEX NAME)

RN 787638-94-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-(4-methyl-piperidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

RN 787638-96-4 CAPLUS

- RN 787638-97-5 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-3-(4-hydroxy-1-piperidinyl)-1-(1-methylethyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

- RN 787638-98-6 CAPLUS
- CN 1,6-Maphthyridine, 6-[([(18)-3-(1R,48)-2-azabicyclo[2.2.1]hept-2-yl-1-(1methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

RN 787639-01-4 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(1S,3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyriddin-6(SH)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, hydrochloride (9G1) (CA INDEX NAME)

Absolute stereochemistry.

●x HCl

RN 787639-02-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(1R,38)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]- (CA INDEX NAME)

RN 787639-03-6 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S,3R)-3-[4-(hydroxymethyl)-1-piperidinyl]-1-(1-methylethyl)-yolopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9GI) (CA INDEX NAME)

Absolute stereochemistry.

•x HCl

RN 787639-24-1 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(1-pyrrolidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

RN 787639-25-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[{(18)-1-(1-methylethyl)-3-[3-(4-pyridinyl)-1-pyrrolidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NABC)

Absolute stereochemistry.

RN 787639-26-3 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-[3-(3pyridinyl)-1-pyrrolidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAB)

RN 787639-27-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(15)-1-(1-methylethyl)-3-[3-(2pyridinyl)-1-pyrrolidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-28-5 CAPLUS

CN 3-Pyrrolidineacetic acid, 1-[(38)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 787639-87-6 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(5-pyrimidinyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-88-7 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-(4-(1Htetrazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9C1) (CA INDEX NAME)

- RN 787639-89-8 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-[4-(1Hpyrazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9CI) (CA INDEX NAME)

- RN 787639-90-1 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-[4-(1H-1,2,3-triazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl) (9CI) (CA INDEX NAME)

RN 787639-91-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-[4-(1H-1,2,4-triazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifloromethyl)- (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-92-3 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-[4-(3Hpyrazol-3-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)-(9C1) (CA INDEX NAME)

- RN 787639-93-4 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-[4-(5-methyl-2H-tetrazol-2-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (SCI) (OA INDEX NAME)

- RN 787639-94-5 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-[4-(4-thiazolyl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9CI)
  (CA INDEX NAME)

- RN 787639-95-6 CAPLUS
- CN Benzoic acid, 3-[1-[(3S)-3-[[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-4-piperidinyl]-, ethyl ester (CA INDEX NAME)

- RN 787639-96-7 CAPLUS
- CN Benzoic acid, 3-[1-[38)-3-[7,8-dihydro-3-(trifluoromethyl)-1,6-naphthyridin-6(5H)-yl]carbonyl]-3-(1-methylethyl)cyclopentyl]-4-piperidinyl]- (CA INDEX NAME)

RN 787639-97-8 CAPLUS

CN 1,6-Naphthyridine, 6-[(15)-3-[4-(2,5-dihydro-5-oxo-1,2,4-thiadiazol-3-yl)-1-piperidinyl]-1-(1-methylethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)- (901) (CA INDEX NAME)

Absolute stereochemistry.

RN 787639-98-9 CAPLUS

CN 1,6-Maphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-[3-(4-methyl-4H-1,2,4-triazol-3-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9C1) (CA INDEX NAME)

- RN 787639-99-0 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-hydroxyethyl)-3-[4-(1H-1,2,4-triazol-1-yl)-1-piperidinyl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9C1) (CA INDEX NAME)

- RN 787640-00-0 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-hydroxyethy1)-3-[4-(5-pyrimidiny1)-1-piperidiny1]cyclopentyl]carbonyl]-3-(trifluoromethy1)-(9CI) (CA INDEX NAME)

- RN 787640-01-1 CAPLUS
- CN 1,6-Naphthyridine, 6-[[(1S,3R)-3-[4-(4-fluorophenyl)-1-piperidinyl]-1(methoxymethyl)cyclopentyl]carbonyl]-5,6,7,8-tetrahydro-3(trifluoromethyl)- (9C1) (CA INDEX NAME)

RN 787640-02-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1R,3R)-3-(4-phenyl-1piperidinyl)-1-(trifiluoromethyl)cyclopentyl]carbonyl]-3-(trifiluoromethyl), hydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●x HCl

RN 787640-54-4 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(1S)-1-(1-methylethyl)-3-(1-pyrrolidinyl)cyclopentyl]carbonyl]-3-(trifluoromethyl)-, hydrochloride (9CI) (CA INDEX NAME)

## •x HCl

RN 791067-36-2 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[(18)-1-(1-methylethyl)-3-[(4R,75)-octahydro-4-methyl-4,7-epoxy-2H-isoindol-2yl]cyclopentyl]carbonyl]-3-(trifluoromethyl)- (9C1) (CA INDEX NAME)

L4 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:802715 CAPLUS

DOCUMENT NUMBER: 141:314157

TITLE: Preparation of amino cyclobutylamide modulators of

INVENTOR(S): chemokine receptor activity
Jiao, Richard, Yang, Lihu
PATENT ASSIGNEE(S): Merck & Co. Inc., USA

KIND DATE

SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

				KIND DATE															
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
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			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	KE,	KG,	KΡ,	KR,	ΚZ,	LC,	
			LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	, MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
			NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU	, SC,	SD,	SE,	SG,	SK,	SL,	SY,	
			TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US	, UZ,	VC,	VN,	YU,	ZA,	ZM,	zw	
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL	, SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	
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			ES,	FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU	, MC,	NL,	PL,	PT,	RO,	SE,	SI,	
			SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA	, GN,	GQ,	GW,	ML,	MR,	NE,	SN,	
			TD,	TG															
AU 2004222336								AU 2004-222336											
	CA	2519	220			A1		2004	0930		CA	2004-	2519	220		2	0040	315	
	EP	1617	841			A1		2006	0125		EP	2004-	7207	91		2	0040	315	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR	, IT,	LI,	LU,	NL,	SE,	MC,	PT,	
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ES, FI, FR SK, TR, BF TD, TG																			
	JP	2006	5207	83		T		2006	0914		JP	2006-	5071	76		2	0040	315	
	SK, TR. E TD, TG AU 2004222336 CA 2519220 EP 1617841 R: AT, BE, C IE, SI, I CN 1787818 JP 2006520783 IN 2005DN03929 US 2006211722 RIORITY APPLN. INFO.: THER SOURCE(S):					A		2007	0824		IN	2005-	DN39	29		2	0050	902	
	US	2006	2117:	22		A1		2006	0921		US	2005-	5497	39		2	0050	919	
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											WO	2004-	US77	92		A 2	0040	315	
07	OTHER SOURCE(S): M							141:	3141	57									

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AB Title compds. represented by the formula I (wherein Z = independently C or N; R1 = H, heterocycle, Ph, cyano, etc.; R2-R4, R6 = independently H, (fluoro)alkyl, hydroxy, chloro, etc.; R5 = (fluoro)alkyl, (un)substituted pyridyl, bromo, etc.; R7-R9 = independently H, :0, Ph, (un)substituted alkyl; or R2R9 = heterocycle; A = (un)substituted amino or N-containing cyclic ring; and pharmaceutically acceptable salts and individual diastereomers thereof] were prepared as chemokine receptor modulators (no data). For example, II was given in a multi-step synthesis starting from the reaction of 3,5-bistrifluoromethyl)benzylamine with 3-oxo-cyclobutanearboxylic acid. Thus, I and their pharmaceutical compns. are useful as modulators of the chemokine receptor CCR-2 for the treatment of inflammatory and immunorequalatory disorders, and rheumacoid arthritis (no data).

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IT 766513-12-6P 766513-14-8P 766513-16-0P 766513-22-8P 766513-22-8P 766513-22-6P 776513-22-8P 767332-05-8P 767332-06-9P 767332-06-9P 767332-09-2P 767332-08-9P 767332-09-2P 767332-08-9P 767332-09-2P 767332-08-9P 767332-08

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 766513-12-6 CAPLUS

CM

 $\label{eq:cyclobutanecarboxamide, 1-(1-hydroxyethy1)-3-[(1R,3'R)-3'-methy1spiro[1H-indene-1,4'-piperidin]-1'-y1]-N-[[5-(trifluoromethy1)-3-pyridiny1]methy1]-, cis-rel- (CA INDEX NAME)$ 

- RN 766513-14-8 CAPLUS
- CN Cyclobutanecarboxamide, 1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

- RN 766513-16-0 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[(1-(1-methylethyl)-3-(4-phenyl-1-piperidinyl)cyclobutyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

- RN 766513-18-2 CAPLUS
- CN Cyclobutanecarboxamide, 1-(1-hydroxyethyl)-3-(4-phenyl-1-piperidinyl)-N[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

- RN 766513-20-6 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxyethy1)-3-(4-pheny1-1piperidiny1)cyclobuty1]carbony1]-3-(trifluoromethy1)- (9CI) (CA INDEX NAME)

- RN 766513-22-8 CAPLUS
- CN Cyclobutanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]- (CA INDEX NAME)

- RN 766513-24-0 CAPLUS
- CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxy-1-methylethyl)-3-(4-phenyl-1-piperidinyl)cyclobutyl]carbonyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

- RN 766513-57-9 CAPLUS
- CN Cyclobutanecarboxamide, 1-(1-hydroxyethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-[(5-(trifluoromethyl)-3-pyridinyl]methyl]-, trans-rel- (CA INDEX NAME)

Relative stereochemistry.

- RN 767332-04-7 CAPLUS
- CN Cyclobutanecarboxamide, 1-(1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-indene1,4'-piperidin-1'-yl]-N-[(5-(trifluoromethyl)-3-pyridinyl]methyl]-, rel- (CA 'NDEX NAME)

- RN 767332-05-8 CAPLUS
- CN 1,6-Maphthyridine, 5,6,7,8-tetrahydro-6-[(1-(1-methylethyl)-3-((1R,3'R)-3'-methylspiro(1H-indene-1,4'-piperidin)-1'-yl]cyclobutyl]carbonyl]-3-(trifluoromethyl)-, rel- (9C1) (CA INDEX NAME)

Relative stereochemistry.

- RN 767332-06-9 CAPLUS
- CN Cyclobutanecarboxamide, 1-(1-hydroxyethyl)-3-[(1R,3'R)-3'-methylspiro[1Hindene-1,4'-piperidin]-1'-yl]-N-[[5-(trifluoromethyl)-3-pyridinyl]methyl]-, rel- (CA INDEX NAME)

RN 767332-07-0 CAPLUS

CN 1,6-Naphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxyethy1)-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]cyclobutyl]carbonyl]-3-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 767332-08-1 CAPLUS

CN Cyclobutanecarboxamide, 1-(1-hydroxy-1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[1H-inden-1,4'-piperidin]-1'-yl)-N-[[5-(trifluoromethyl)-3-pyriddinyl]methyl]-, rel- (CA INDEX NAME)

RN 767332-09-2 CAPLUS

CN 1,6-Maphthyridine, 5,6,7,8-tetrahydro-6-[[1-(1-hydroxy-1-methylethyl)-3-[(1R,3'R)-3'-methylspiro[lH-indene-1,4'-piperidin]-1'ylloyclobutyl[carbonyl]-3-(trifluoromethyl)-, rel- (9C1) (CA INDEX NAME)

Relative stereochemistry.

REFERENCE COUNT:

1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L4 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:142517 CAPLUS

DOCUMENT NUMBER: 136:200102

TITLE: Preparation of N-cyclopentylpiperidines as modulators

of chemokine receptor activity

INVENTOR(S): Yang, Lihu; Butora, Gabor; Parsons, William H.;

Pasternak, Alexander

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 274 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

GI

PA										APPLICATION NO.							DATE			
WO	WO 2002013824						2002									20010813				
	W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BE	3,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC	Ξ,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE	Ξ,	KG,	KR,	KZ,	LC,	LK,	LR,	LS,		
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	M	١,	MX,	MZ,	NO,	NZ,	PL,	PT,	RO,		
		RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	Th	1,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,		
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		ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	G₩	ī,	ML,	MR,	NE,	SN,	TD,	TG			
						A1 20020221 CA						CA 2001-2419194					20010813			
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AU	AU 2001083345					A 20020225					AU 2001-83345						20010813			
	EP 1318811										EP 2001-962140						20010813			
	EP 1318811																			
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										US 2001-931454			54	20010816						
	US 6545023						2003	0408												
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AB The title compds. I (R1 = H, (un)substituted C0-6alkyl-Y-C1-6alkyl and C0-6alkyl-Y-C0-6alkyl-C3-7cycloalkyl-C0-6alkyl wherein Y = bond, O, S, SO, SO2 and alkylamine; R2 = (un)substituted C0-6alkyl-Ph and C0-6alkyl-heterocycle; R3 = (un)substituted C0-6alkyl-phenyl; R4 = H, OH, alkyl, alkylhydroxy, CN, etc. or R3 and R4 may be joined to form a ring selected from 1H-indene, 2,3-dihydro-1H-indene, 1,3-dihydrobenzofuran, 1,3-dihydroisobenzofuran, 2,3-dihydrobenzothiofuran, and 1,3-dihydroisobenzothiofuran or R3 and R5 or R4 and R6 may be joined to form a (un)substituted Ph ring; R5 and R6 may also be independently selected from H, OH, alkyl, halo, etc.; X = NR7, O, CONR7, CH2O, NR7CO, CO2, OCO, CH2(NR7)CO, N(COR7) and CH2N(COR7) where R7 = H, (un)substituted -alkyl, -benzyl, -Ph, and -C1-6alkyl-C3-6cycloalkyl) are prepared and disclosed as modulators of chemokine receptor activity. Thus, II was prepared by ozonolysis of Et 3-methylenecyclopentane carboxylate, substitution with trans-3-methyl-4-(1,1-spiroindenyl)piperidine (preparation given), hydrolysis of intermediate Et spiropiperidinylmethylcyclpentane carboxylate and subsequent amidation by 3-trifluoromethyl-5fluorobenzylamine. In particular, these compds, are useful as modulators of the chemokine receptor CCR-2 (no data). As chemokine receptor modulators, these compds. may be useful as anti-inflammatory and antirheumatic agents.

ΙI

II 400763-83-9P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(target compound; preparation of chemokine receptor modulators N-cyclopentylpiperidines useful as anti-inflammatory and antirheumatic agents)

- RN 400763-83-9 CAPLUS
- CN Cyclopentanecarboxamide, 1-methyl-3-[(1R,3'R)-3'-methylspiro[1H-indene-1,4'-piperidin]-1'-yl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

(FILE 'HOME' ENTERED AT 12:49:22 ON 18 MAR 2008)

FILE 'REGISTRY' ENTERED AT 12:49:32 ON 18 MAR 2008

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L2 1 S L1 L3 104 S L1 FULL

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FILE 'CAPLUS' ENTERED AT 12:49:57 ON 18 MAR 2008 7 S L3 FULL L4

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